

SUPPLEMENTAL AMENDMENT  
U.S. Appln. No. 09/869,103

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REMARKS

This instant Supplemental Amendment deletes one further side group defined for a variable in claim 5, and corrects an error in one of the side groups of claim 9 that was inadvertently introduced into claim 9 in the Amendment Under 37 C.F.R. §1.111 submitted in this application on November 21, 2002.

The claims as set forth herein are a version of the claims in which each of the amendments to the claims made in the Amendment submitted November 21, 2002, has been incorporated.

No new matter has been added. Entry of this amendment is earnestly solicited.

In view of the above, reconsideration and allowance of this application are now believed to be in order, and such actions are hereby solicited. If any points remain in issue which the Examiner feels may be best resolved through a personal or telephone interview, the Examiner is kindly requested to contact the undersigned at the telephone number listed below.

The USPTO is directed and authorized to charge all required fees, except for the Issue Fee and the Publication Fee, to Deposit Account No. 19-4880. Please also credit any overpayments to said Deposit Account.

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Date: January 7, 2003

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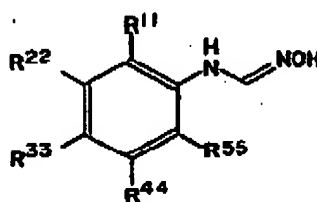
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## APPENDIX

VERSION WITH MARKINGS TO SHOW CHANGES MADEIN THE CLAIMS:

The claims are amended as follows:

5. (Twice amended) A hydroxyformamidine derivative represented by the formula:



wherein at least one of R<sup>11</sup> to R<sup>55</sup> represents a C<sub>2-6</sub> alkenyl group; a C<sub>3-8</sub> cycloalkyl C<sub>1-6</sub> alkyl group; a C<sub>3-8</sub> cycloalkyl group; a C<sub>3-8</sub> cycloalkoxy group; a C<sub>2-10</sub> alkanoyl group; a C<sub>1-6</sub> hydroxyalkyl group; a C<sub>1-6</sub> hydroxyalkyl group substituted with 1 to 6 halogen atoms; a C<sub>2-6</sub> alkoxy carbonyl group; a 3-phenyl-2-propenyloxy carbonyl group; a C<sub>2-6</sub> alkoxy carbonyl C<sub>1-6</sub> alkyl group; a di(C<sub>1-6</sub> alkyl)amino C<sub>2-6</sub> alkoxy carbonyl group; a C<sub>2-10</sub> alkanoylamino group; a C<sub>2-6</sub> alkanoylamino group substituted with a C<sub>1-6</sub> alkyl group; a benzoylamino group; a carbamoyl group; a carbamoyl group mono- or di-substituted with C<sub>1-6</sub> alkyl or phenyl groups; an N-(N',N')-di(C<sub>1-6</sub> alkyl)amino C<sub>1-6</sub> alkyl carbamoyl group; a cyano group; a cyano C<sub>1-6</sub> alkyl group; a C<sub>1-6</sub> alkylsulfonyl group; a phenylsulfonyl group; a C<sub>1-6</sub> alkylthio C<sub>1-6</sub> alkyl group; a phenylsulfonyl C<sub>1-6</sub> alkylthio group wherein the benzene ring is substituted with 1 to 5 halogen atoms; a phenyl group; a benzyl group; a phenyl group substituted with 1 to 3 substituents selected from the group consisting of cyano groups, halogen atoms, C<sub>1-6</sub> alkyl groups, and C<sub>1-6</sub> alkoxy groups; a biphenyl group; an α-cyanobenzyl group; an α-cyanobenzyl group substituted with 1 to 5 halogen atoms; a benzyl group substituted with a bicyclo[2.2.1]-hept-5-en-2,3-dicarboxyimidyl

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group; a styryl group; a styryl group substituted with 1 to 5 substituents selected from the group consisting of C<sub>1-6</sub> alkoxy groups and di(C<sub>1-6</sub> alkyl)amino alkyl groups; a pyrrolidin-1-yl group; a piperidino group; a morpholino group; a pyridyl group; a pyrimidinyl group; a pyrimidinyl group substituted with 1 to 3 substituents selected from the group consisting of C<sub>1-6</sub> alkyl groups and C<sub>1-6</sub> alkoxy groups; a phthalimidoyl group; a phthalimidoyl group substituted with 1 to 3 halogen atoms; an N-carbazolyl group; a dioxopiperidinyl group substituted with 1 to 3 C<sub>1-6</sub> alkyl groups; a phenylsulfonylamino group; a phenylsulfonylamino group substituted with 1 to 3 C<sub>1-6</sub> alkyl groups; a C<sub>1-6</sub> alkylaminosulfonyl C<sub>1-6</sub> alkyl group; a thiadiazolyl group; an oxadiazolyl group; an oxadiazolyl group substituted with a substituted phenyl group wherein the substituents in the substituted phenyl group are 1 to 3 substituents selected from the group consisting of halogen atoms, C<sub>1-6</sub> alkyl groups, and C<sub>1-6</sub> alkoxy groups; a pyrrolidinyl group; a pyrazolyl group; a pyrazolyl group substituted with 1 to 3 substituents selected from the group consisting of halogen atoms, C<sub>1-6</sub> alkyl groups, and trifluoromethyl groups; a furyl group; a furyl group substituted with 1 to 3 substituents selected from the group consisting of halogen atoms, C<sub>1-6</sub> alkyl groups, and C<sub>2-6</sub> alkoxy carbonyl groups; a thienopyrimidinylthio group; a thienopyrimidinylthio group substituted with 1 to 3 C<sub>1-6</sub> alkyl groups; a thienopyridylthio group; a thienopyridylthio group substituted with 1 to 3 C<sub>1-6</sub> alkyl groups; a benzothiazolylthio group; a benzothiazolylthio group substituted with 1 to 3 halogen atoms; a group represented by the formula: -Y-(CR<sup>61</sup>R<sup>62</sup>)<sub>m</sub>-(CR<sup>63</sup>R<sup>64</sup>)<sub>n</sub>-R<sup>77</sup> [wherein Y represents an oxygen or sulfur atom; R<sup>61</sup>, R<sup>62</sup>, R<sup>63</sup>, and R<sup>64</sup> are identical or different and represent a hydrogen atom, a halogen atom, a C<sub>1-4</sub> alkyl group, or a trifluoromethyl group; R<sup>77</sup> represents a halogen atom; a C<sub>3-8</sub> cycloalkyl group; a C<sub>2-10</sub> alkenyl group; a phenyl group; a phenyl group substituted with 1 to 3 substituents selected from the

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group consisting of nitro groups, cyano groups, C<sub>1-6</sub> alkyl groups, C<sub>1-6</sub> alkoxy groups, C<sub>1-6</sub> alkylthio groups, phenyl groups, phenoxy groups, phenethyl groups, C<sub>2-6</sub> alkoxy carbonyl groups, and halogen atoms; a cyano group; a carboxyl group; a C<sub>1-6</sub> alkoxy group; a C<sub>1-6</sub> hydroxyalkyl group; a C<sub>3-8</sub> cycloalkoxy group; a C<sub>1-6</sub> alkoxy C<sub>1-6</sub> alkoxy group; a C<sub>1-6</sub> alkoxy C<sub>1-6</sub> alkoxy C<sub>1-6</sub> alkoxy group; a C<sub>1-6</sub> alkylthio group; a C<sub>2-6</sub> alkanoyloxy group; a C<sub>2-6</sub> alkanoyloxy C<sub>1-6</sub> alkyl group; a phenoxy group; a phenylthio group; an N-(C<sub>1-6</sub> alkyl)toluidino group; a pyrrolidin-1-yl group; a piperidino group; a morpholino group; a pyridyl group; a pyridyl group substituted with a C<sub>1-6</sub> alkyl group; a piperidino group substituted with a C<sub>1-6</sub> alkyl group; a pyridyl group substituted with a C<sub>1-6</sub> alkoxy group; a pyrrolidin-1-yl group substituted with a C<sub>1-6</sub> alkyl group; a morpholino group substituted with a C<sub>1-6</sub> alkyl group; a morpholinyl group; a morpholinyl group substituted with a C<sub>1-6</sub> alkyl group; a homomorpholinyl group; a thiomorpholino group; a thiomorpholino group substituted with a C<sub>1-6</sub> alkyl group; a thiomorpholinyl group; a thiomorpholinyl group substituted with a C<sub>1-6</sub> alkyl group; a piperazinyl group; a piperazin-1-yl group substituted with a C<sub>1-6</sub> alkyl group at the 4-position; a homopiperidinyl group; a homopiperidinyl group substituted with a C<sub>1-6</sub> alkyl group; a pyridylthio group; a quinolyl group; a furyl group; an oxetanyl group; an oxolanyl group; a dioxolanyl group; a dioxolanyl group substituted with a C<sub>1-6</sub> alkyl group; an oxanyl group; a dioxanyl group; a dioxanyl group substituted with a C<sub>1-6</sub> alkyl group; a benzodioxanyl group; a pyrrolidon-1-yl group; a pyrrolidinyl group; an N-(C<sub>1-6</sub> alkyl)pyrrolidinyl group; a piperidinyl group; an N-(C<sub>1-6</sub> alkyl)piperidinyl group; a pyrrolyl group; a thienyl group; a thiazolyl group; a thiazolyl group substituted with 1 to 3 C<sub>1-6</sub> alkyl groups; a 2,6-purindion-7-yl group substituted with at least one C<sub>1-6</sub> alkyl group; a furfuryl group; a di(C<sub>1-6</sub> alkyl)amino group; a C<sub>2-6</sub> alkoxy carbonyl group; or a

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di(C<sub>1-6</sub> alkyl)amino C<sub>1-6</sub> alkoxy group; m is an integer of 1 to 6; and n is an integer of 0 to 6]; or a group represented by the formula: -SO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup> [wherein R<sup>8</sup> and R<sup>9</sup> are identical or different and represent a hydrogen atom, a C<sub>1-10</sub> alkyl group, a C<sub>2-6</sub> alkanoyl group, an isoxazolyl group, an isoxazolyl group substituted with 1 to 3 C<sub>1-6</sub> alkyl groups, a thiadiazolyl group, a thiadiazolyl group substituted with 1 to 3 C<sub>1-6</sub> alkyl groups, a thiazolyl group, a thiazolyl group substituted with 1 to 3 C<sub>1-6</sub> alkyl groups, a pyridyl group, a pyridyl group substituted with 1 to 3 C<sub>1-6</sub> alkyl groups, a pyrimidinyl group, a pyrimidinyl group substituted with 1 to 3 C<sub>1-6</sub> alkyl groups, a pyrimidinyl group substituted with 1 to 3 C<sub>1-6</sub> alkoxy groups, a pyridazinyl group, a pyridazinyl group substituted with 1 to 3 C<sub>1-6</sub> alkoxy groups, an indazolyl group, or a carbamoyl group mono- or di-substituted with C<sub>1-6</sub> alkyl groups, or alternatively, taken together with the nitrogen atom to which they are bonded, form a 3,5-dioxopiperazin-1-yl group, a pyrrolidinyl group, a piperidino group, or a morpholino group], or alternatively,

the two groups adjacent to each other of R<sup>11</sup> to R<sup>55</sup>, taken together with the benzene ring to which they are bonded, form a phthalimide ring; a phthalimide ring substituted with a C<sub>1-6</sub> alkyl group; an indole ring; an indane ring; an indazole ring; a benzotriazole ring; an S,S-dioxobenzothiophene ring; a 2,3-dihydroimidazo[2,1-b]benzothiazole ring; a dibenzofuran ring; a dibenzofuran ring substituted with a C<sub>1-6</sub> alkoxy group; a fluorene ring; a fluorene ring substituted with a halogen atom; a pyrene ring; a carbostyryl ring; a carbostyryl ring substituted with a C<sub>1-6</sub> alkyl group; a naphthalene ring; a naphthalene ring substituted with 1 to 3 substituents selected from the group consisting of cyano groups, halogen atoms, nitro groups, and C<sub>1-6</sub> alkyl groups; a 1,2,3,4-tetrahydronaphthalene ring; a quinoline ring; a quinoline ring substituted with a C<sub>1-6</sub> alkyl group; an isoquinoline ring; a 2-oxo- $\alpha$ -chromene ring; a 2-oxo- $\alpha$ -chromene ring

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substituted with 1 to 3 substituents selected from the group consisting of C<sub>1-6</sub> alkyl groups, C<sub>1-6</sub> alkoxy groups, and C<sub>1-6</sub> alkoxy C<sub>1-6</sub> alkyl groups; a cinnolin ring; a cinnolin ring substituted with a C<sub>1-6</sub> alkyl group; a phthalazindione ring; a benzothiazol ring; a benzothiazol ring substituted with a C<sub>1-6</sub> alkyl group; a benzodioxorane ring; and a benzobutyrolactone ring, and the remaining groups of R<sup>11</sup> to R<sup>55</sup> are identical or different and represent a hydrogen atom, a C<sub>1-4</sub> alkyl group, a C<sub>1-4</sub> alkoxy group, a trifluoromethyl group, a nitro group, or a halogen atom,

or a pharmaceutically-acceptable salt thereof.

9. (Amended) The hydroxyformamidine derivative or a pharmaceutically-acceptable salt thereof, according to Claim 8, wherein at least one of R<sup>11</sup> to R<sup>55</sup> represents a group represented by the formula: -O-(CR<sup>61</sup>R<sup>62</sup>)<sub>m</sub>-(CR<sup>63</sup>R<sup>64</sup>)<sub>n</sub>-R<sup>77</sup> [wherein R<sup>61</sup>, R<sup>62</sup>, R<sup>63</sup>, and R<sup>64</sup> are identical or different and represent a hydrogen atom, a halogen atom, a C<sub>1-4</sub> alkyl group, or a trifluoromethyl group; R<sup>77</sup> represents a di(C<sub>1-6</sub> alkyl)amino group; a di(C<sub>1-6</sub> alkyl)amino C<sub>1-6</sub> alkoxy group; a piperidyl group; a piperidyl piperidinyl-group substituted with a C<sub>1-6</sub> alkyl group; a piperidino group; a piperidino group substituted with a C<sub>1-6</sub> alkyl group; a pyridyl group; a pyridyl group substituted with a C<sub>1-6</sub> alkyl group; a pyridyl group substituted with a C<sub>1-6</sub> alkoxy group; a pyridylthio group; a pyrrolidon-1-yl group; a pyrrolidinyl group; a pyrrolidinyl group substituted with a C<sub>1-6</sub> alkyl group; a pyrrolyl group; a thienyl group; a thiazolyl group; a morpholino group; a morpholino group substituted with a C<sub>1-6</sub> alkyl group; a morpholinyl group; a morpholinyl group substituted with a C<sub>1-6</sub> alkyl group; a homomorpholinyl group; a thiomorpholino group; a thiomorpholino group substituted with a C<sub>1-6</sub> alkyl group; a thiomorpholinyl group; a thiomorpholinyl group substituted with a C<sub>1-6</sub> alkyl group; a piperazinyl group; piperazin-1-yl group substituted with a C<sub>1-6</sub> alkyl group at the 4-position; a

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homopiperidiny1 group; or a homopiperidiny1 group substituted with a C<sub>1-6</sub> alkyl group; m is an integer of 1 to 6; and n is an integer of 0 to 6], and the remaining groups of R<sup>11</sup> to R<sup>55</sup> are identical or different and represent a hydrogen atom, a C<sub>1-4</sub> alkyl group, a C<sub>1-4</sub> alkoxy group, a trifluoromethyl group, a nitro group, or a halogen atom.